

## EAST Search History

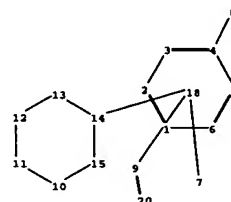
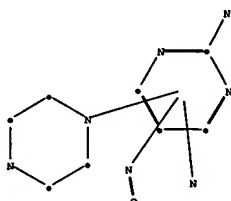
Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1854	((544/295) or (514/252.14)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/05/16 13:36

NPL

		Results
5.	TITLE-ABSTR-KEY(nitroso) and TITLE-ABSTR-KEY(transplant) [All Sources(- All Sciences -)]	7
4.	TITLE-ABSTR-KEY(nitroso) and TITLE-ABSTR-KEY(peripheral vascular) [All Sources(- All Sciences -)]	4
3.	TITLE-ABSTR-KEY(nitroso) and TITLE-ABSTR-KEY(stroke) [All Sources(- All Sciences -)]	32
2.	TITLE-ABSTR-KEY(nitroso) and TITLE-ABSTR-KEY(coronary heart) [All Sources(- All Sciences -)]	4
1.	TITLE-ABSTR-KEY(nitroso) and TITLE-ABSTR-KEY(ischemic or ischemia) [All Sources(- All Sciences -)]	194

Copyright © 2006 Elsevier B.V. All rights reserved.

ScienceDirect® is a registered trademark of Elsevier B.V.



chain nodes :

20

ring nodes :

1 2 3 4 5 6 10 11 12 13 14 15

ring/chain nodes :

7 8 9

chain bonds :

4-8 9-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

exact/norm bonds :

4-8 9-20 10-11 10-15 11-12 12-13 13-14 14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

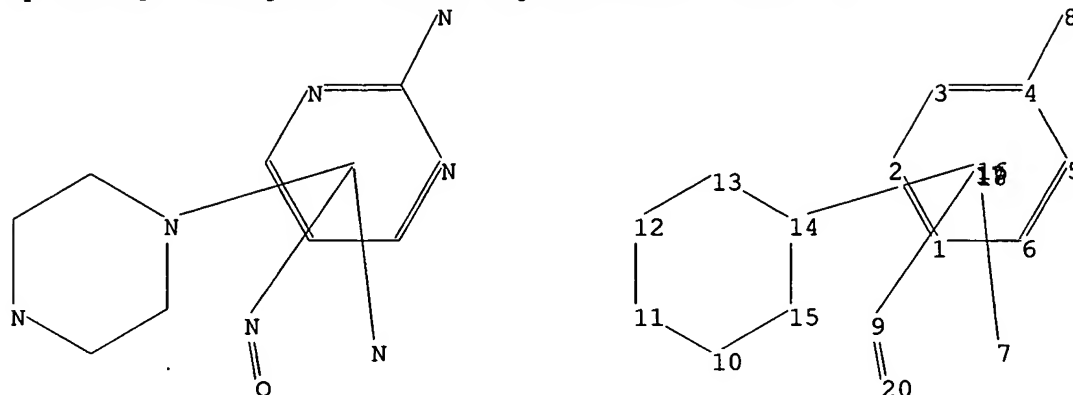
/

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:Atom  
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 20:CLASS

=&gt;

Uploading C:\Program Files\Stnexp\Queries\10719621.str



chain nodes :

20

ring nodes :

1 2 3 4 5 6 10 11 12 13 14 15

ring/chain nodes :

7 8 9

chain bonds :

4-8 9-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15

exact/norm bonds :

4-8 9-20 10-11 10-15 11-12 12-13 13-14 14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 10 :

Match level :

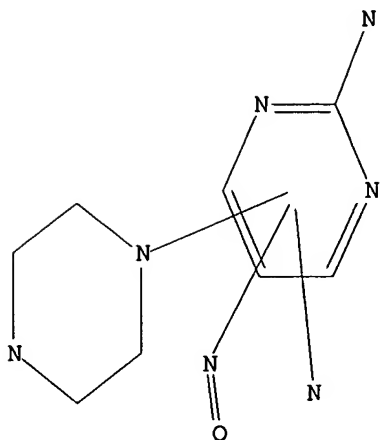
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=&gt; d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 15:56:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 109 TO ITERATE

100.0% PROCESSED 109 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1554 TO 2806

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> => s l1 sss ful

FULL SEARCH INITIATED 15:57:20 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1932 TO ITERATE

100.0% PROCESSED 1932 ITERATIONS

134 ANSWERS

SEARCH TIME: 00.00.01

L3 134 SEA SSS FUL L1

=> => s l3

L4 7 L3

=> d l4 1-7 bib,ab,hitstr

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:395106 CAPLUS  
 DN 142:447233  
 TI Preparation of heterocycle-substituted pteridine derivatives as  
 immunosuppressants  
 IN Waer, Mark Jozef Albert; Herdewijn, Piet Andre Maurits Maria; De Jonghe,  
 Steven Cesar Alfons; Marchand, Arnaud Didier Marie; Gao, Ling-Jie  
 PA 4 Aza Bioscience NV, Belg.  
 SO PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005039587	A1	20050506	WO 2004-EP11836	20041018
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	GB 2407089	A1	20050420	GB 2003-24324	20031017
	GB 2413324	A1	20051026	GB 2004-8955	20040422
	AU 2004283479	A1	20050506	AU 2004-283479	20041018
	CA 2537224	AA	20050506	CA 2004-2537224	20041018
PRAI	GB 2003-24324	A	20031017		
	GB 2004-8955	A	20040422		
	WO 2004-EP11836	W	20041018		

OS MARPAT 142:447233

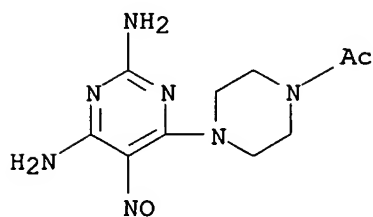
AB The invention relates to the preparation of novel pteridine derivs. of formula I [wherein: one or more of R1-R4 is independently selected from (un)substituted saturated or partly saturated heterocyclic 5-7-membered rings], their pharmaceutically acceptable salts, and/or stereoisomers, N-oxides, solvates, dihydro- and tetrahydropteridine derivative, useful as immunosuppressants in the treatment of transplant rejection and inflammatory diseases. The invention relates to the treatment of toxic side effects, disorders, and diseases related to or resulting from the exposure of patients to abnormally high level of TNF- $\alpha$ . I are also useful in preventing or treating cardiovascular disorders, allergic conditions, disorders of the central nervous system, TNF- $\alpha$  related disorders, viral diseases and cell proliferative disorders. For instance, pteridine derivative II [R5 = C(O)Me; TNF- $\alpha$  assay: IC50 = 0.4  $\mu$ M; mixed lymphocyte reaction assay: IC50 = 0.9  $\mu$ mole/L] was prepared via substitution of the triazole ring of triazolylpteridine derivative III by piperazine and subsequent N-acetylation of the obtained piperazinylpteridine derivative (yield: substitution - 85%). A model of TNF- $\alpha$  induced shock was performed with 80% survival rate of mice that received the pteridine derivative II (R5 is phenoxyacetyl).

IT 850071-12-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of heterocycle-substituted pteridine derivs.)

10/719,621

useful as immunosuppressants)  
RN 850071-12-4 CAPLUS  
CN Piperazine, 1-acetyl-4-(2,6-diamino-5-nitroso-4-pyrimidinyl)- (9CI) (CA  
INDEX NAME)



RE.CNT 13 . THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:335902 CAPLUS  
 DN 142:392439  
 TI A preparation of pteridine derivatives, useful as immunosuppressants  
 IN Herdewijn, Piet; Waer, Mark; De Jonghe, Steven Cesar Alfons; Marchand, Arnaud Didier Marie  
 PA 4 Aza Bioscience N. V., Belg.  
 SO Brit. UK Pat. Appl., 105 pp.  
 CODEN: BAXXDU  
 DT Patent  
 LA English  
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2407089	A1	20050420	GB 2003-24324	20031017
	AU 2004283479	A1	20050506	AU 2004-283479	20041018
	CA 2537224	AA	20050506	CA 2004-2537224	20041018
	WO 2005039587	A1	20050506	WO 2004-EP11836	20041018
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2003-24324	A	20031017		
	GB 2004-8955	A	20040422		
	WO 2004-EP11836	W	20041018		

OS MARPAT 142:392439

AB The invention relates to a preparation of novel pteridine derivs. of formula I [wherein: one or more of R1-R4 is independently selected from heterocyclic 5-7-membered rings], useful as immunosuppressants. The invention compds. are immunosuppressive agents and they are useful in treatment of transplant rejection and inflammatory diseases. The invention relates to the treatment of toxic side effects, disorders, and diseases related to or resulting from the exposure of patients to abnormally high level of TNF- $\alpha$ . For instance, pteridine derivative II [R5 = C(O)Me; TNF- $\alpha$  assay: IC50 = 0.4  $\mu$ M; mixed lymphocyte reaction assay: IC50 = 0.9  $\mu$ mole/L] was prepared via substitution of the triazole ring of triazolylpteridine derivative III by piperazine and subsequent N-acetylation of the obtained piperazinypteridine derivative (yield: substitution - 85%). A model of TNF- $\alpha$  induced shock was performed with 80% survival rate of mice that received the pteridine derivative II (R5 is phenoxyacetyl).

IT **850071-12-4P**

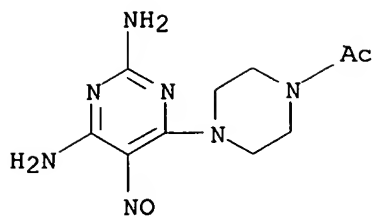
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pteridine derivs. useful as immunosuppressants)

RN 850071-12-4 CAPLUS

CN Piperazine, 1-acetyl-4-(2,6-diamino-5-nitroso-4-pyrimidinyl)- (9CI) (CA INDEX NAME)





RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:493688 CAPLUS

DN 141:38628

TI Preparation of N-nitrosometoprolol and N-nitrosopyrrolidinylpyrimidines for the treatment of ischemic diseases.

IN Hessler, Edward J.; Karnes, Harold A.; Toledo, Luis H.

PA Epcellon, Inc., USA

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050639	A2	20040617	WO 2003-US37341	20031121
	WO 2004050639	A3	20041209		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003291141	A1	20040623	AU 2003-291141	20031121
	US 2005113573	A1	20050526	US 2003-719621	20031121
PRAI	US 2002-430545P	P	20021203		
	WO 2003-US37341	W	20031121		

OS MARPAT 141:38628

AB Title compds. I [R1 = N=O, (P)-Pn-1-O-(CH<sub>2</sub>)<sub>n</sub>; n = 1-6; (P)-Pn-1 = H, alkyl; R2 = N=O, alkyl; R3 = alkyl; R2 and R3 together with the attached N-atom form a ring, e.g., pyrrolidinyl, piperidinyl, homopiperidinyl, etc.; R4 = N=O, alkyl, R5 = alkyl; R4 and R5 together with the attached N-atom form a ring, e.g., pyrrolidinyl, piperidinyl, homopiperidinyl, etc.], N-nitrosometoprolol (II) and their pharmaceutically acceptable salts were prepared. For example, sodium nitrite mediated N-nitrosylation of metoprolol afforded N-nitrosometoprolol (II). Compds. I are claimed useful for the treatment of coronary heart disease, stroke, hemorrhagic shock, etc.

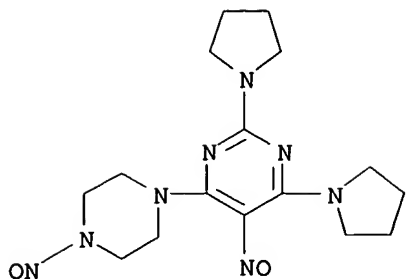
IT 702693-95-6P 702693-96-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-nitrosometoprolol and N-nitrosopyrrolidinylpyrimidines for the treatment of ischemic diseases.)

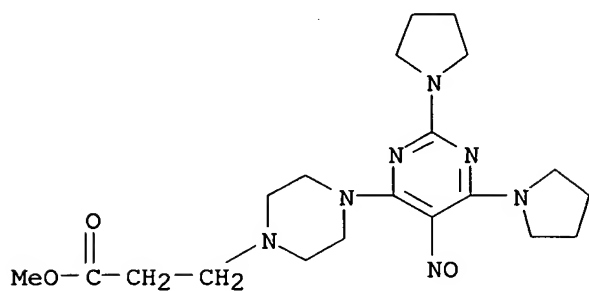
RN 702693-95-6 CAPLUS

CN Pyrimidine, 5-nitroso-4-(4-nitroso-1-piperazinyl)-2,6-di-1-pyrrolidinyl-(9CI) (CA INDEX NAME)



RN 702693-96-7 CAPLUS

CN 1-Piperazinepropanoic acid, 4-(5-nitroso-2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1995:264515 CAPLUS  
 DN 122:56052  
 TI Piperazine and homopiperazine derivatives, pharmaceutical compositions  
 containing them and process for preparing the same  
 IN Zubovics, Zoltan; Goldschmidt, Katalin; Szilagyi, Katalin; Andrasi,  
 Ferenc; Hodula, Eszter; Toldy, Lajos; Sutka, Klara; Fittler, Zsuzsanna;  
 Sebestyen, Laszlo; et al.  
 PA Nisshin Flour Milling Co., Ltd., Japan  
 SO Can. Pat. Appl., 91 pp.  
 CODEN: CPXXEB  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2098562	AA	19931218	CA 1993-2098562	19930616
	HU 64333	A2	19931228	HU 1992-2021	19920617
	HU 214331	B	19980302		
	US 5380724	A	19950110	US 1993-78601	19930616
	EP 574906	A2	19931222	EP 1993-109664	19930617
	EP 574906	A3	19940413		
	EP 574906	B1	20010822		
	R: BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
	JP 06179673	A2	19940628	JP 1993-146014	19930617
	JP 3247769	B2	20020121		
PRAI	HU 1992-2021	A	19920617		

OS MARPAT 122:56052

AB This invention relates to preparation of novel compds. of the general formula I and the pharmaceutically acceptable acid addition salts thereof, which are useful as antioxidants. In the general formula I (Lip = H, C15-20 alkyl, C10-20 alkanoyl, C10-20 alkenoyl, trityl optionally substituted by halogen, adamantyl, 1- or 2-naphthyloxy or oxo-substituted tetrahydronaphthyloxy, or an amine protective group commonly used e.g. in the peptide chemical; A1 and A2 are selected independently from the group consisting of a single bond and C2-3 alkylene optionally substituted by hydroxy or oxo; n = 1, 2; Het = heterocyclyl, etc.). Thus, reaction of 1-[2,6-di(1-pyrrolidinyl)-4-pyrimidinyl]piperazine (preparation given) with 10-undecenoyl chloride in anhydrous pyridine gave the title compound, 1-(10-undecenoyl)-4-[2,6-di(1-pyrrolidinyl)-4-pyrimidinyl]piperazine (II) in 82% yield. The ferrous ion dependent lipid peroxidn. inhibitory activity was measured on rat brain for the prepared compds. IC50,  $\mu$ M (defined as the concentration of a test substance which reduces by 50% the amount of the thiobarbituric acid) for II was 30.

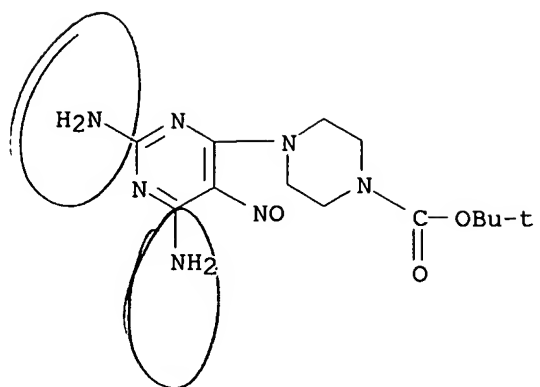
IT **159873-19-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of antioxidant)

RN 159873-19-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-(2,6-diamino-5-nitroso-4-pyrimidinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/719,621



L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1990:216531 CAPLUS

DN 112:216531

TI Preparation of pyrimidine derivatives with potential cardiotonic activity

AU Kosary, Judit; Diesler, Eszter; Matyus, Peter; Kasztreiner, Endre

CS Hung.

SO Acta Pharmaceutica Hungarica (1989), 59(6), 241-7

CODEN: APHGAO; ISSN: 0001-6659

DT Journal

LA Hungarian

OS CASREACT 112:216531

AB Fifty two potential cardiotonic pyrimidine derivs. were prepared The amino derivs. were synthesized from 4,6-dichloro-2-methylpyrimidine with different amines. The diamino derivs. were prepared from 4,6-dichloro-2-methyl-5-nitropyrimidine. Several 2-amino- and 2-(3-pyridyl)pyrimidinones were synthesized. Some of the compds. [I (R, R1 given): NHCH2CH2N(CH2CH2)2O, H; N(CH2CH2)2O, Br; OBU, NO2; OBU, NH2] exerted a significant cardiotonic activity. II (R = 3-pyridyl) exerted a diuretic activity.

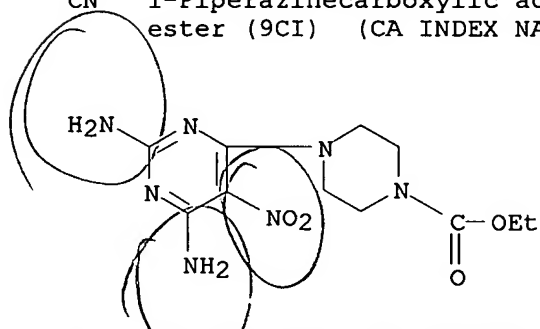
IT 127116-58-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and cardiotonic activity of)

RN 127116-58-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-(2,6-diamino-5-nitro-4-pyrimidinyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT 127116-56-7P 127116-59-0P 127116-78-3P

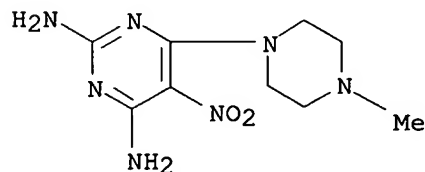
127116-80-7P 127116-81-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

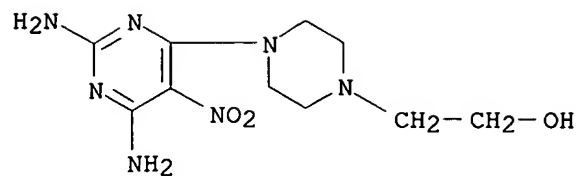
RN 127116-56-7 CAPLUS

CN 2,4-Pyrimidinediamine, 6-(4-methyl-1-piperazinyl)-5-nitro- (9CI) (CA INDEX NAME)

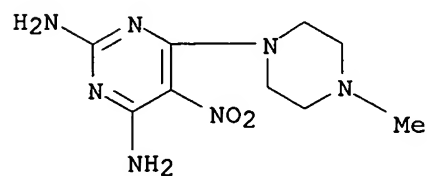


RN 127116-59-0 CAPLUS

CN 1-Piperazineethanol, 4-(2,6-diamino-5-nitro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

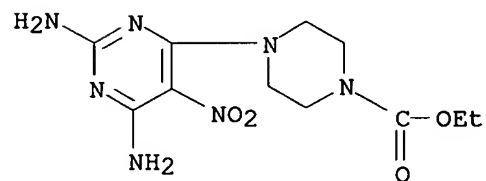


RN 127116-78-3 CAPLUS  
 CN 2,4-Pyrimidinediamine, 6-(4-methyl-1-piperazinyl)-5-nitro-,  
 dihydrochloride (9CI) (CA INDEX NAME)



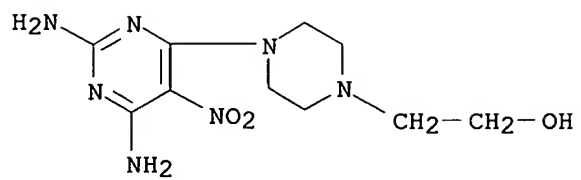
● 2 HCl

RN 127116-80-7 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-(2,6-diamino-5-nitro-4-pyrimidinyl)-, ethyl  
 ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 127116-81-8 CAPLUS  
 CN 1-Piperazineethanol, 4-(2,6-diamino-5-nitro-4-pyrimidinyl)-,  
 dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl



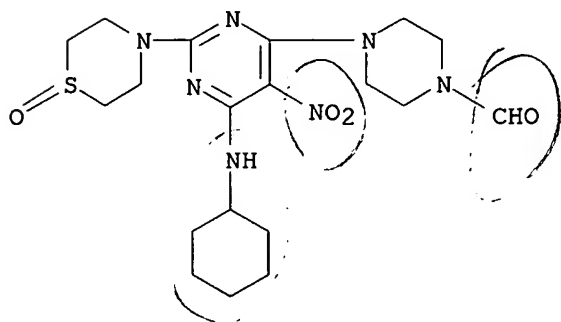
L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1975:443369 CAPLUS  
 DN 83:43369  
 TI Pyrimidine derivatives  
 IN Narr, Berthold; Roch, Josef; Mueller, Erich; Haarmann, Walter  
 PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.  
 SO Ger. Offen., 121 pp. Addn. to Ger. Offen. 2,430,644.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2341925	A1	19750306	DE 1973-2341925	19730820
	AT 7406079	A	19770515	AT 1974-6079	19740724
	AT 340933	B	19780110		
	NO 7402712	A	19750221	NO 1974-2712	19740725
	NO 141163	B	19791015		
	CS 187420	P	19790131	CS 1974-5405	19740729
	RO 65649	P	19810830	RO 1974-79722	19740810
	US 3975384	A	19760817	US 1974-497459	19740814
	DD 116831	C	19751212	DD 1974-180558	19740816
	HU 170230	P	19770428	HU 1974-TO978	19740817
	BE 818990	A1	19750219	BE 1974-147737	19740819
	FI 7402436	A	19750221	FI 1974-2436	19740819
	SE 7410539	A	19750221	SE 1974-10539	19740819
	NL 7411047	A	19750224	NL 1974-11047	19740819
	DK 7404415	A	19750428	DK 1974-4415	19740819
	JP 50049288	A2	19750501	JP 1974-94899	19740819
	AU 7472490	A1	19760219	AU 1974-72490	19740819
	ZA 7405305	A	19760428	ZA 1974-5305	19740819
	ES 429366	A1	19761016	ES 1974-429366	19740819
	PL 93115	P	19770530	PL 1974-173567	19740819
	CA 1043789	A1	19781205	CA 1974-207278	19740819
	FR 2241305	A1	19750321	FR 1974-28597	19740820
	GB 1449100	A	19760908	GB 1974-36606	19740820
PRAI	DE 1973-2341925	A	19730820		
	DE 1974-2430644	A	19740626		

AB Two hundred twenty-six pyrimidines I (R = H, Me, Et, Pr, iso-Pr, tert-Bu, CO<sub>2</sub>Me, CO<sub>2</sub>Et, CN, NH<sub>2</sub>, Cl, cyclohexylamino, CH<sub>2</sub>CO<sub>2</sub>Et, alkylthio, CH(CO<sub>2</sub>Et)<sub>2</sub>, cyclohexylthio, HOCH<sub>2</sub>CH<sub>2</sub>S, MeO<sub>2</sub>CCH<sub>2</sub>S, PhS, SH, CH<sub>2</sub>:CHCH<sub>2</sub>S, 1-adamantylamino, alkoxy; R<sub>1</sub> = NO<sub>2</sub>, H, Me, Et, Cl, SCN, CO<sub>2</sub>Et, Br, CN, MeS, F, p-ClC<sub>6</sub>H<sub>4</sub>S, BuO, CHO; R<sub>2</sub> = morpholino, thiomorpholino and S-oxides, piperazino, 4-formylpiperazino; R<sub>3</sub> = piperazino, 4-carbethoxy-, 4-carbamoyl-, and 4-formylpiperazino, thiomorpholino and S-oxides, morpholino, MeS, MeO, EtO, EtS), useful as antihypertensives and antithrombotic agents, were prepared by treating I [R, R<sub>2</sub>, and R<sub>3</sub> are reactive groups, such as halo, HO, R<sub>4</sub>O (R<sub>4</sub> = aryl or alkyl), alkylthio] with RH, R<sub>2</sub>H, and (or) R<sub>3</sub>H (R, R<sub>2</sub>, and R<sub>3</sub> as defined for the product I). The starting materials are either known or were prepared by known methods. I have LD<sub>50</sub> 70-170 mg/kg i.v. and 500-1500 mg/kg orally (mouse). I effected 61-100% inhibition of thrombocyte aggregation at 10 μmoles/l. (Morris test).

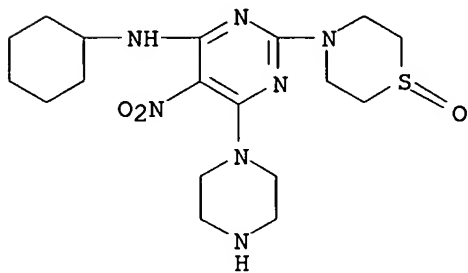
IT 56033-89-7P 56033-90-0P 56034-72-1P  
 56034-93-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 56033-89-7 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[6-(cyclohexylamino)-5-nitro-2-(1-oxido-4-thiomorpholinyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 56033-90-0 CAPLUS

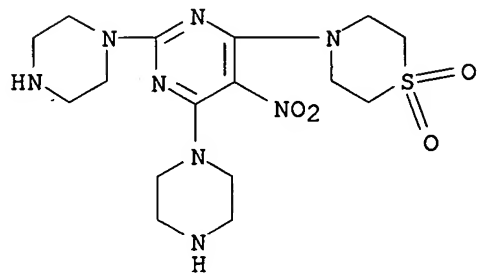
CN 4-Pyrimidinamine, N-cyclohexyl-5-nitro-2-(1-oxido-4-thiomorpholinyl)-6-(1-piperazinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

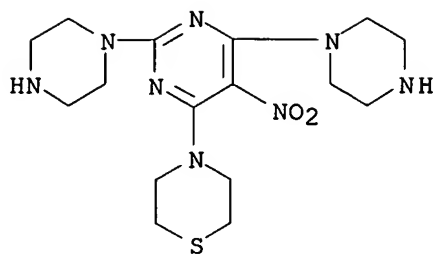
RN 56034-72-1 CAPLUS

CN Thiomorpholine, 4-(5-nitro-2,6-di-1-piperazinyl-4-pyrimidinyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

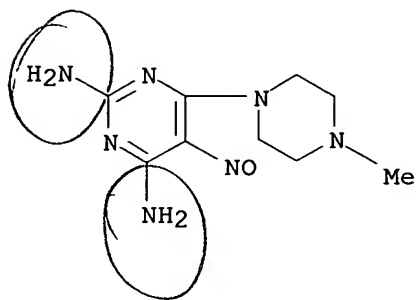


RN 56034-93-6 CAPLUS

CN Thiomorpholine, 4-(5-nitro-2,6-di-1-piperazinyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1968:467335 CAPLUS  
DN 69:67335  
TI Pteridines. VI. Preparation of some 6-aryl-7-aminopteridines  
AU Weinstock, Joseph; Dunoff, Roberta Y.; Sutton, Blaine; Trost, Barry;  
Kirkpatrick, Joel; Farina, Frank; Straub, Alice S.  
CS Res. and Develop. Div., Smith Kline and French Lab., Philadelphia, PA, USA  
SO Journal of Medicinal Chemistry (1968), 11(3), 549-56  
CODEN: JMCMAR; ISSN: 0022-2623  
DT Journal  
LA English  
AB A number of 4,7-diamino-6-phenyl-, 2,7-diamino-6-phenyl- and  
2,4,7-triamino-6-arylpteridines were prepared for diuretic testing by  
condensation of arylacetonitriles and 4-amino-5-nitrosopyrimidines.  
2,4-Diamino-6-(methylthio)-5-nitrosopyrimidine and 4,6-diamino-2-  
(methylthio)-5-nitrosopyrimidine were treated with amines to give  
replacement of the MeS group by an amino group. Uv and N.M.R. spectra  
suggest that the 2-cyanomethyl- and 2-carboxamidomethyl-4,7-diamino-6-  
phenylpteridines exist as tautomers in which the cyano and carboxamido  
groups are conjugated with the pteridine ring. Certain other conclusions  
were drawn from the spectral data. 20 references.  
IT **19785-19-4P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 19785-19-4 CAPLUS  
CN Pyrimidine, 2,4-diamino-6-(4-methyl-1-piperazinyl)-5-nitroso- (8CI) (CA  
INDEX NAME)



=&gt; =&gt; d his

(FILE 'HOME' ENTERED AT 15:55:27 ON 15 MAY 2006)

FILE 'REGISTRY' ENTERED AT 15:55:42 ON 15 MAY 2006

L1 STRUCTURE UPLOADED

L2 5 S L1 SSS SAM

L3 134 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 15:57:28 ON 15 MAY 2006

L4 7 S L3

FILE 'CAOLD' ENTERED AT 15:59:49 ON 15 MAY 2006

=&gt; s 13

L5 0 L3

=&gt; =&gt; d his

(FILE 'HOME' ENTERED AT 15:55:27 ON 15 MAY 2006)

FILE 'REGISTRY' ENTERED AT 15:55:42 ON 15 MAY 2006

L1 STRUCTURE UPLOADED

L2 5 S L1 SSS SAM

L3 134 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 15:57:28 ON 15 MAY 2006

L4 7 S L3

FILE 'CAOLD' ENTERED AT 15:59:49 ON 15 MAY 2006

L5 0 S L3

FILE 'CAPLUS' ENTERED AT 16:00:50 ON 15 MAY 2006

=&gt; s 13

L6 7 L3

=&gt; log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

206.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-5.25

STN INTERNATIONAL LOGOFF AT 16:01:35 ON 15 MAY 2006

Application  
Number

SEARCH

IDS Flag Clearance for Application 10719621

IDS  
Information

Content

Mailroom Date

Entry Number

IDS Review

Reviewer

UPDATE